

APPENDIX B

(Clean Copy of Claims 37CFR 1.121(c)(1))

1. (AMENDED) Compounds of inhibitors of the enzymatic activity of dipeptidyl peptidase IV (DP IV), which compounds have the general formula A-B-C, wherein

A is an amino acid

B is a chemical bond between A and C or is an amino acid, and

C is an unstable inhibitor of DP IV.

2. (AMENDED) Compounds according to claim 1, wherein B is selected from the group consisting of proline, hydroxyproline, thiazolidinecarboxylic acid, dehydropyrrolidine, pipecolic acid, azetidinecarboxylic acid or aziridinecarboxylic acid.

3. (AMENDED) Compounds according to claim 1 wherein, B is proline or hydroxyproline.

4. (AMENDED) Compounds according to claim 1 wherein said unstable inhibitor is a dipeptide derivative having an active carbonyl group at the C-terminus selected from the group consisting of Ile-Thia, Ile-Pyr, Val-Thia or Val-Pyr.

5. (AMENDED) Compounds according to claim 1 wherein said inhibitors are present in salt form.

6. (AMENDED) Compounds according to claim 1 wherein said inhibitors are present as organic salts such as acetates, succinates, tartrates or fumarates or inorganic acid radicals such as phosphates or sulphates.

7. (AMENDED) Compounds according to claim 1 wherein A-B is a dipeptide of formula Ile-Pro or Gly-Pro and C is a dipeptidyl alkyl ketone derivative.

8. (AMENDED) Compounds according to claim 1 wherein said compounds comprise a pharmaceutical composition for oral administration, wherein said composition comprises customary pharmaceutical carriers or excipients.

9. (AMENDED) A method of preparing a pharmaceutical composition for the temporally controlled in vivo enzymatic inhibition of DP IV comprising providing a compound of the general formula A-B-C, wherein

A is an amino acid

B is a chemical bond between A and C or is an amino acid, and

C is an unstable inhibitor of DP IV.

10. (AMENDED) The method of claim 9 wherein said compound is directed to cell-, tissue- or organ-specific enzymatic inhibition of DP IV.

11. (AMENDED) A method of treating disorders in mammals that can be treated by modulating the DP IV enzymatic activity of a mammal comprising the step of administering to said mammal a compound of the general formula A-B-C, wherein

A is an amino acid

B is a chemical bond between A and C or is an amino acid, and

C is an unstable inhibitor of DP IV.

12. (AMENDED) The method of claim 11 wherein said compounds are used to treat metabolic disorders in humans.

13. (AMENDED) The method of claim 11 wherein said compounds are used to treat impaired glucose tolerance, glucosuria, hyperlipidaemia, metabolic acidoses, obesity, diabetes mellitus, diabetic neuropathy and nephropathy and sequelae of diabetes mellitus in mammals.

14. (NEWLY ADDED) A compound of claim 1 wherein said unstable inhibitors are selected from a group consisting of a dipeptidyl alkyl ketone derivative, with a fluoro alkyl ketone

Cont
Sub
B2

Ent
Sub
B2

derivative being exempted from the dipeptidyl alkyl ketone derivatives, a dipeptidyl chloroalkyl ketone, dipeptidyl cyanide or a dipeptidyl pyridinium methyl ketone radical

Add C7

Add DZ